

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
9 December 2004 (09.12.2004)

PCT

(10) International Publication Number  
**WO 2004/105725 A3**

(51) International Patent Classification<sup>7</sup>: **A61K 9/16**

(21) International Application Number:  
PCT/EP2004/050962

(22) International Filing Date: 28 May 2004 (28.05.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
MI2003A001096 30 May 2003 (30.05.2003) IT

(71) Applicant (for all designated States except US): EURAND  
S.P.A. [IT/IT]; Via Martin Luther King, 13, I-20060 Pes-  
sano Con Bornago (IT).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **GOLZI, Roberto**  
[IT/IT]; Via E. Toti, 28, I-26100 Cremona (IT). **BOLTRI,**  
**Luigi** [IT/IT]; Via Vismara, 127, I-20041 Agrate Brianza  
(IT). **STOLLBERG, Christian** [IT/IT]; Piazza Giovanni  
XXIII, 3, I-20063 Cernusco Sul Naviglio (IT).

(74) Agent: **GERVASI, Gemma**; Notarbartolo & Gervasi  
S.p.A., Corso di Porta Vittoria, 9, I-20122 MILAN (IT).

(81) Designated States (unless otherwise indicated, for every  
kind of national protection available): AE, AG, AL, AM,  
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,  
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,  
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,  
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,  
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,  
ZW.

(84) Designated States (unless otherwise indicated, for every  
kind of regional protection available): ARIPO (BW, GH,  
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,  
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,  
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,  
GW, ML, MR, NE, SN, TD, TG).

**Declaration under Rule 4.17:**

— of inventorship (Rule 4.17(iv)) for US only

**Published:**

— with international search report  
— before the expiration of the time limit for amending the  
claims and to be republished in the event of receipt of  
amendments

(88) Date of publication of the international search report:  
26 May 2005

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: MICROCAPSULES BY COACERVATION CONTAINING A PHARMACEUTICAL INCORPORATED IN THE  
COATING POLYMER

(57) Abstract: Microcapsules containing an active ingredient, constituted by a core coated with a polymer membrane, characterized  
in that the active ingredient is incorporated in the polymer coating layer, and in that said layer is applied using microencapsulation  
techniques (coacervation by means of phase separation). The microcapsules; thus produced have excellent properties of taste mask-  
ing and prolonged release of the active ingredients.

WO 2004/105725 A3

# INTERNATIONAL SEARCH REPORT

International Application No  
PCT/EP2004/050962

**A. CLASSIFICATION OF SUBJECT MATTER**  
IPC 7 A61K9/16

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)  
IPC 7 A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)  
EPO-Internal, WPI Data, PAJ, CHEM ABS Data

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X A	FR 2 758 461 A (PHARMA PASS) 24 July 1998 (1998-07-24) claims 1,3,6,8 page 7, line 19 - page 8, line 2 page 12; example 1	15-18, 20,25-30  1-14
X	DE 199 30 795 A (ENCAPBIOSYSTEMS) 11 January 2001 (2001-01-11)  column 3; example 1 claims 1,7	1-4, 8-12, 14-18, 20,27  21,22,24
X	US 2002/064563 A1 (GIBBS IRWIN S ET AL) 30 May 2002 (2002-05-30) examples 1,2 paragraph '0044! paragraph '0009! - paragraph '0014!	1,2, 15-18,20
-/--		

☒ Further documents are listed in the continuation of box C.

☒ Patent family members are listed in annex.

\* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the international filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*G\* document member of the same patent family

Date of the actual completion of the international search

1 March 2005

Date of mailing of the international search report

15. 03. 2005

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
NL - 2280 HV Rijswijk  
Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
Fax: (+31-70) 340-3016

Authorized officer

VON EGDELKRAUT, S

## INTERNATIONAL SEARCH REPORT

International Application No

PCT/EP2004/050962

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 98/00116 A (SCHERING CORP) 8 January 1998 (1998-01-08) page 1, line 24 - page 2, line 5 page 5, line 3 - line 16	15-20, 24-30
X	examples 1,2,5	21,22
X	----- US 5 252 337 A (POWELL ET AL) 12 October 1993 (1993-10-12) example 1	15-18, 20,25-30
X	----- DATABASE WPI Section Ch, Week 198730 Derwent Publications Ltd., London, GB; Class A96, AN 1987-209277 XP002267560 & JP 62 135419 A (IKEDA MOHANDO) 18 June 1987 (1987-06-18) abstract	15-24, 27-30
X	----- EP 0 706 794 A (JAPAN ENERGY CORPORATION) 17 April 1996 (1996-04-17)  claims 1-5,8 examples 1-4	15-18, 20-22, 24,27-30
X	----- EP 0 475 536 A (TAKEDA) 18 March 1992 (1992-03-18)  claims 1,4,8,10,11,13 page 3, line 39 - line 52 examples 1,10	15-18, 20-22, 24,27-30

# INTERNATIONAL SEARCH REPORT

International application No.  
PCT/EP2004/050962

## Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:  
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☐ Claims Nos.:  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. ☐ Claims Nos.:  
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

see additional sheet

1. ☒ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☒ No protest accompanied the payment of additional search fees.

## FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-20, 25-30 (part)

Microcapsules comprising a core having dimension ranging from 50 to 1200 micrometer and a coating consisting of a polymeric membrane containing at least one active ingredient dispersed therein in the form of solid particles, wherein the polymer constituting the membrane is a water-soluble polymer and the particles of active ingredient are dispersed inside said polymeric membrane with a concentration that decreases progressively moving from the core towards the distal part of the membrane.

---

2. claims: 21-24, 25-30 (part)

Microcapsules constituted by a core having dimension ranging from 50 to 1200 micrometer and coated with a polymeric membrane comprising one or more active ingredients homogeneously dispersed thereof in the form of solid particles, characterised in that the polymer constituting the membrane is a water-insoluble polymer.

---

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No

PCT/EP2004/050962

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
FR 2758461	A	24-07-1998	FR 2758461 A1	24-07-1998
			AU 5336898 A	07-08-1998
			WO 9831360 A1	23-07-1998
DE 19930795	A	11-01-2001	DE 19930795 A1	11-01-2001
US 2002064563	A1	30-05-2002	US 2004156901 A1	12-08-2004
			AT 246490 T	15-08-2003
			AU 763643 B2	31-07-2003
			AU 2798999 A	20-09-1999
			BG 104727 A	31-05-2001
			BR 9908488 A	05-12-2000
			CA 2322644 A1	10-09-1999
			CN 1419444 A	21-05-2003
			DE 69910186 D1	11-09-2003
			DE 69910186 T2	29-07-2004
			DK 1066027 T3	10-11-2003
			EE 200000503 A	15-02-2002
			EP 1066027 A1	10-01-2001
			ES 2204109 T3	16-04-2004
			HK 1032009 A1	24-12-2003
			HR 20000563 A1	30-04-2001
			HU 0301192 A2	28-08-2003
			ID 26982 A	22-02-2001
			JP 2003530295 T	14-10-2003
			NO 20004311 A	25-10-2000
			NZ 506532 A	29-08-2003
			PL 343894 A1	10-09-2001
			PT 1066027 T	31-12-2003
			SI 1066027 T1	31-12-2003
			SK 13062000 A3	09-04-2001
			TR 200002541 T2	21-03-2001
			TW 590778 B	11-06-2004
			WO 9944581 A2	10-09-1999
			ZA 9901716 A	11-10-2000
WO 9800116	A	08-01-1998	AU 731704 B2	05-04-2001
			AU 3387497 A	21-01-1998
			BR 9710069 A	10-08-1999
			CA 2258683 A1	08-01-1998
			CN 1228693 A	15-09-1999
			CZ 9804214 A3	16-06-1999
			EP 0914100 A1	12-05-1999
			HU 9903869 A2	28-06-2000
			JP 2000514059 T	24-10-2000
			KR 2000022294 A	25-04-2000
			NO 986087 A	26-02-1999
			NZ 333514 A	26-05-2000
			PL 330864 A1	07-06-1999
			SK 177598 A3	12-07-1999
			TR 9802718 T2	22-03-1999
			WO 9800116 A1	08-01-1998
US 5252337	A	12-10-1993	NONE	
JP 62135419	A	18-06-1987	NONE	
EP 0706794	A	17-04-1996	DE 69524527 D1	24-01-2002

# INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No  
PCT/EP2004/050962

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
EP 0706794 A		EP 0706794 A1	17-04-1996
		JP 8208478 A	13-08-1996
EP 0475536 A	18-03-1992	AT 80292 T	15-09-1992
		EP 0475536 A1	18-03-1992
		GR 3023599 T3	29-08-1997
		AT 150963 T	15-04-1997
		CA 1312548 C	12-01-1993
		DE 3855852 D1	07-05-1997
		DE 3855852 T2	17-07-1997
		DE 3874360 D1	15-10-1992
		DE 3874360 T2	14-01-1993
		EP 0277741 A1	10-08-1988
		ES 2052697 T3	16-07-1994
		ES 2099130 T3	16-05-1997
		GR 3006170 T3	21-06-1993
		HU 46238 A2	28-10-1988
		JP 8032625 B	29-03-1996
		JP 63301816 A	08-12-1988
		JP 2969345 B2	02-11-1999
		JP 11071267 A	16-03-1999
		KR 9605138 B1	22-04-1996
		US 5026560 A	25-06-1991
		US 5516531 A	14-05-1996